

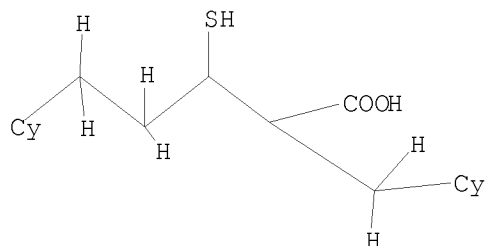
10/517,713

L1            STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1            STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:05:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 664750 TO ITERATE

94.1% PROCESSED    625577 ITERATIONS                            62 ANSWERS

99.1% PROCESSED    658786 ITERATIONS                            62 ANSWERS

100.0% PROCESSED    664750 ITERATIONS                           62 ANSWERS

SEARCH TIME: 00.00.33

L2            62 SEA SSS FUL L1

L3            2 L2

=> d 1-2 ibib abs hitstr

L3    ANSWER 1 OF 2    CAPLUS    COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:            2003:1006952    CAPLUS

DOCUMENT NUMBER:            140:59517

TITLE:                      Preparation of 2,5-disubstituted 3-mercaptopentanoic acids as carboxypeptidase U inhibitors

INVENTOR(S):                Polla, Magnus

PATENT ASSIGNEE(S):        Astrazeneca A.B., Swed.

SOURCE:                     PCT Int. Appl., 38 pp.

CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106420	A1	20031224	WO 2003-SE970	20030610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488606	A1	20031224	CA 2003-2488606	20030610
AU 2003241260	A1	20031231	AU 2003-241260	20030610
AU 2003241260	B2	20070426		
BR 2003011384	A	20050315	BR 2003-11384	20030610
EP 1532111	A1	20050525	EP 2003-730987	20030610
EP 1532111	B1	20070808		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1662504	A	20050831	CN 2003-813840	20030610
CN 100415719	C	20080903		
JP 2005533064	T	20051104	JP 2004-513253	20030610
NZ 536814	A	20061130	NZ 2003-536814	20030610
AT 369342	T	20070815	AT 2003-730987	20030610
ES 2289297	T3	20080201	ES 2003-730987	20030610
NO 2004005051	A	20050113	NO 2004-5051	20041119
IN 2004DN03722	A	20070302	IN 2004-DN3722	20041124
ZA 2004009955	A	20060830	ZA 2004-9955	20041208
US 20050176780	A1	20050811	US 2004-517713	20041210
MX 2004012604	A	20050323	MX 2004-12604	20041214
HK 1077296	A1	20071109	HK 2005-109105	20051014
PRIORITY APPLN. INFO.:			SE 2002-1837	A 20020614
			WO 2003-SE970	W 20030610

OTHER SOURCE(S): MARPAT 140:59517

AB The title compds. R1(CH<sub>2</sub>)<sub>2</sub>CH(SH)CH(CO<sub>2</sub>H)CH<sub>2</sub>R<sub>2</sub> [I; R<sub>1</sub> = (un)substituted Ph, naphthyl, pyridinyl, etc.; R<sub>2</sub> = aminopyridinyl, aminothiazolyl, 3-azabicyclo[3.2.1]octyl] which inhibit carboxypeptidase U and thus can be used in the prevention and treatment of diseases where inhibition of carboxypeptidase U is beneficial, were prepared E.g., a 4-step synthesis of 2-[(6-aminopyridin-3-yl)methyl]-5-(1,1'-biphenyl-3-yl)-3-mercaptopentanoic acid (starting from 3-iodo-1,1'-biphenyl), was given. Biol. data was given for 13 exemplified compds. I. In further aspects, the invention relates to pharmaceutical compns. containing at least one compound I.

IT 637300-57-3P

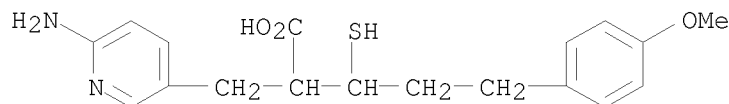
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 2,5-disubstituted 3-mercaptopentanoic acids as

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carboxypeptidase U inhibitors)

RN 637300-57-3 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-(4-methoxyphenyl)propyl]- (CA INDEX NAME)



IT 637300-44-8P 637300-45-9P 637300-46-0P  
637300-47-1P 637300-48-2P 637300-49-3P  
637300-50-6P 637300-51-7P 637300-52-8P  
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637300-94-8P 637300-95-9P 637300-96-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,5-disubstituted 3-mercaptopentanoic acids as carboxypeptidase U inhibitors)

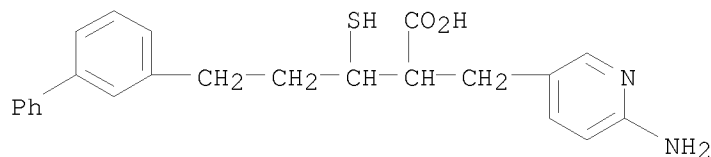
RN 637300-44-8 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -(3-[1,1'-biphenyl]-3-yl-1-mercaptopropyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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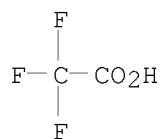


CM 2

CRN 76-05-1

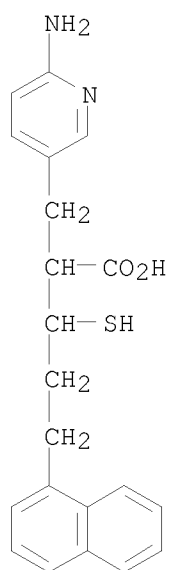
10/923,271

CMF C2 H F3 O2



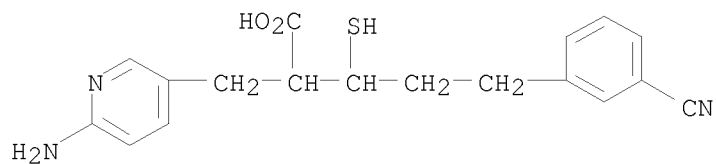
RN 637300-45-9 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-(1-naphthalenyl)propyl]- (CA INDEX NAME)



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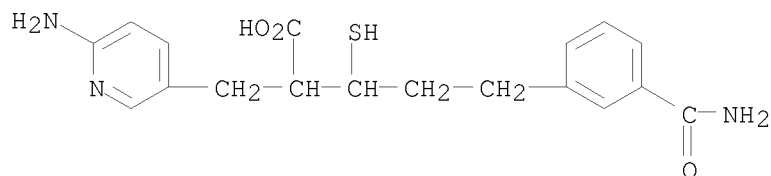
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(3-cyanophenyl)-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-47-1 CAPLUS

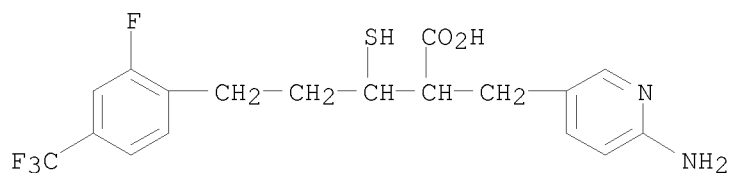
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-(aminocarbonyl)phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

10/923,271



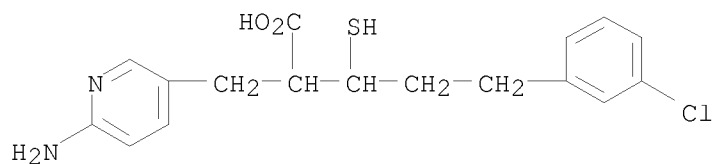
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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[2-fluoro-4-(trifluoromethyl)phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



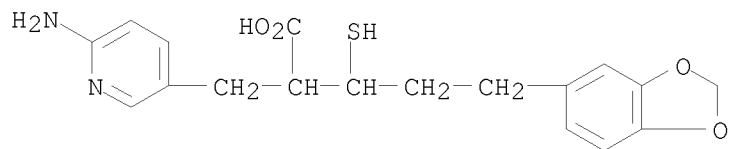
RN 637300-49-3 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(3-chlorophenyl)-1-mercaptopropyl]- (CA INDEX NAME)



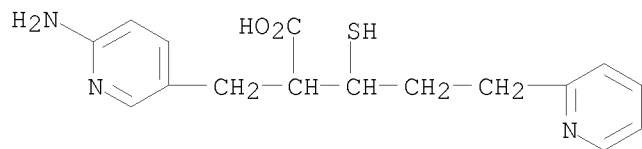
RN 637300-50-6 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(1,3-benzodioxol-5-yl)-1-mercaptopropyl]- (CA INDEX NAME)



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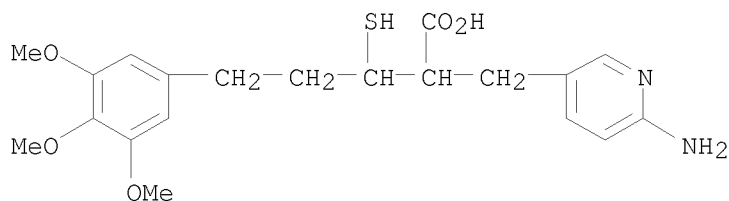
CN 2-Pyridinepentanoic acid,  $\alpha$ -[(6-amino-3-pyridinyl)methyl]- $\beta$ -mercapto- (CA INDEX NAME)



10/923,271

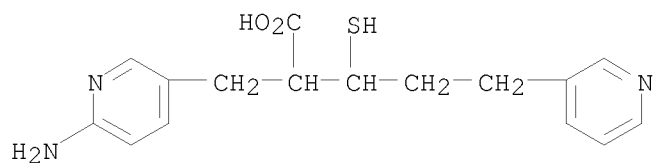
RN 637300-52-8 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-(3,4,5-trimethoxyphenyl)propyl]- (CA INDEX NAME)



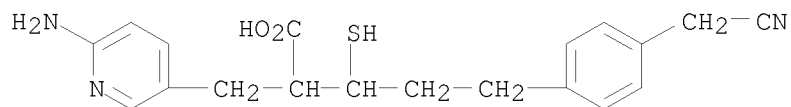
RN 637300-53-9 CAPLUS

CN 3-Pyridinepentanoic acid,  $\alpha$ -[(6-amino-3-pyridinyl)methyl]- $\beta$ -mercapto- (CA INDEX NAME)



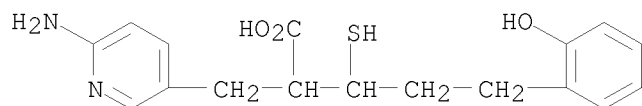
RN 637300-54-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[4-(cyanomethyl)phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-55-1 CAPLUS

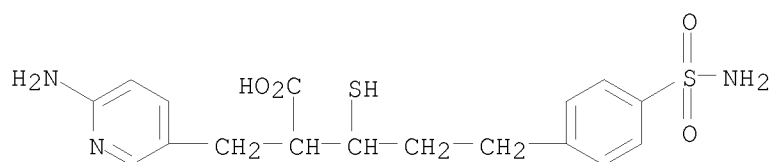
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(2-hydroxyphenyl)-1-mercaptopropyl]- (CA INDEX NAME)



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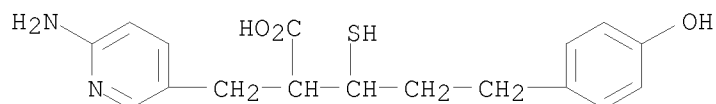
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10/923,271



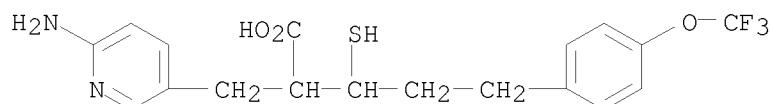
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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(4-hydroxyphenyl)-1-mercaptopropyl]- (CA INDEX NAME)



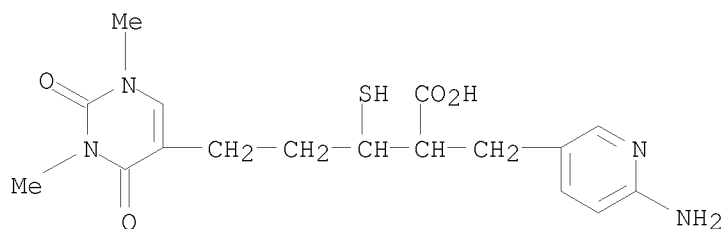
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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[4-(trifluoromethoxy)phenyl]propyl]- (CA INDEX NAME)



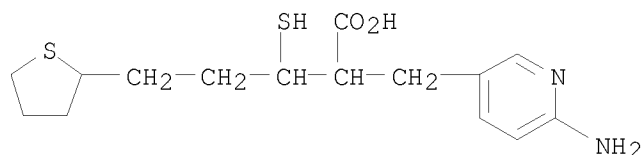
RN 637300-60-8 CAPLUS

CN 5-Pyrimidinepentanoic acid,  $\alpha$ -[(6-amino-3-pyridinyl)methyl]-1,2,3,4-tetrahydro- $\beta$ -mercapto-1,3-dimethyl-2,4-dioxo- (CA INDEX NAME)



RN 637300-61-9 CAPLUS

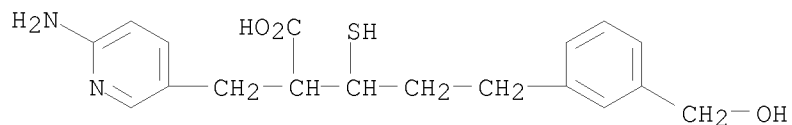
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-(tetrahydro-2-thienyl)propyl]- (CA INDEX NAME)



10/923,271

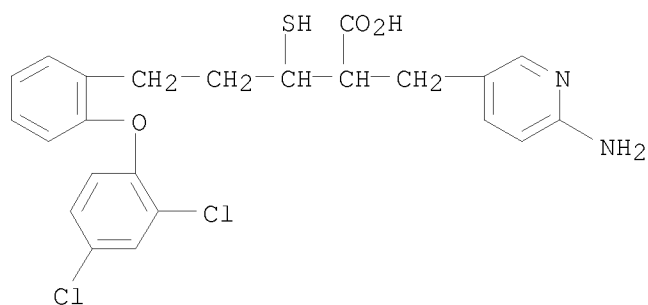
RN 637300-62-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-(hydroxymethyl)phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



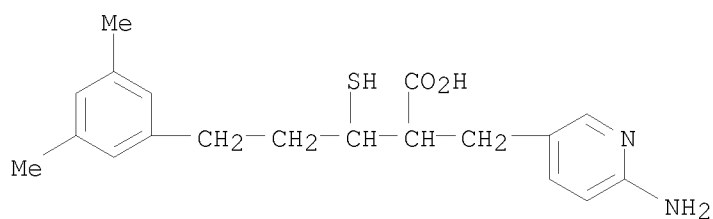
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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[2-(2,4-dichlorophenoxy)phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



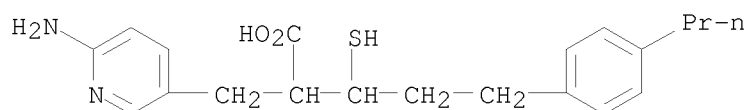
RN 637300-64-2 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(3,5-dimethylphenyl)-1-mercaptopropyl]- (CA INDEX NAME)



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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-(4-propylphenyl)propyl]- (CA INDEX NAME)

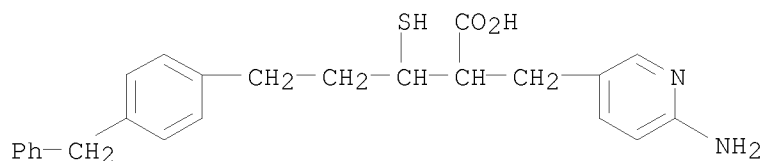


RN 637300-66-4 CAPLUS



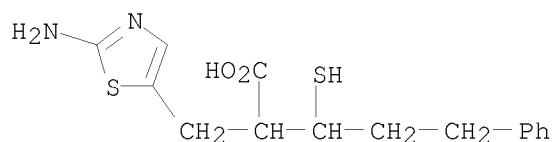
10/923,271

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[4-(phenylmethyl)phenyl]propyl]- (CA INDEX NAME)



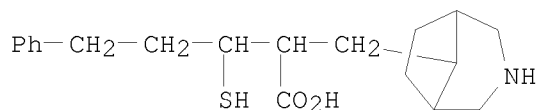
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CN 5-Thiazolepropanoic acid, 2-amino- $\alpha$ -(1-mercapto-3-phenylpropyl)- (CA INDEX NAME)



RN 637300-68-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane-8-propanoic acid,  $\alpha$ -(1-mercapto-3-phenylpropyl)- (CA INDEX NAME)



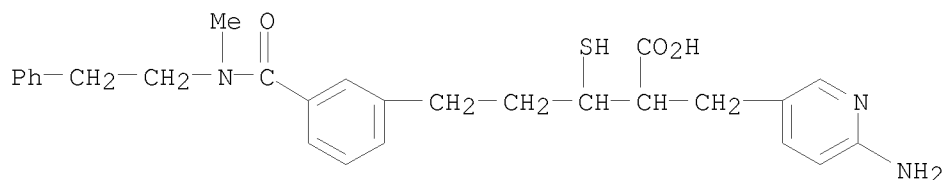
RN 637300-70-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[[methyl(2-phenylethyl)amino]carbonyl]phenyl]propyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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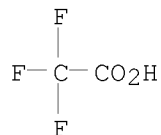
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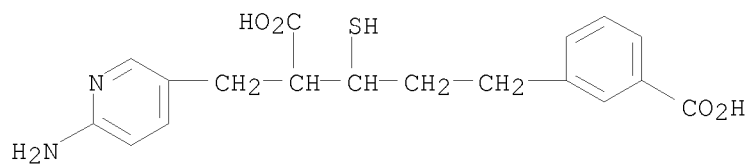
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10/923,271

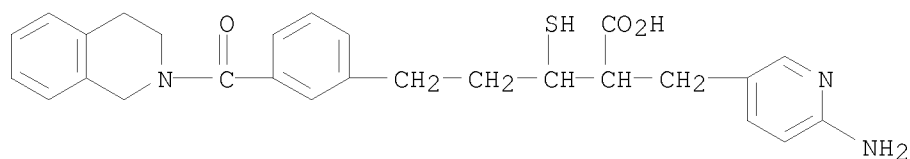
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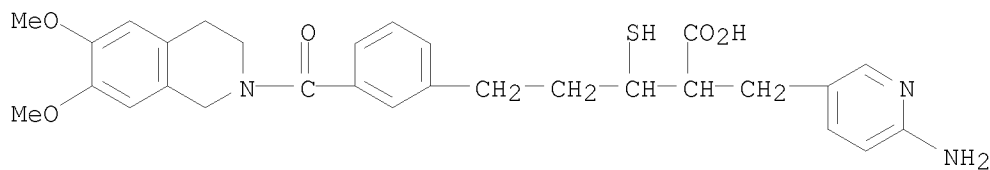
RN 637300-71-1 CAPLUS  
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-(3-carboxyphenyl)-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-72-2 CAPLUS  
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

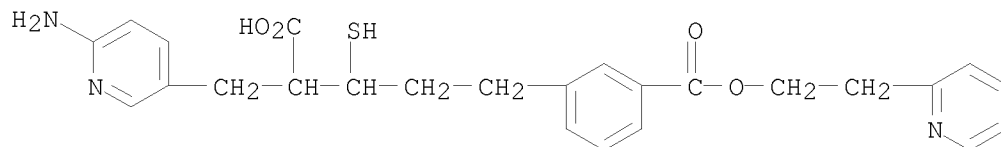


RN 637300-73-3 CAPLUS  
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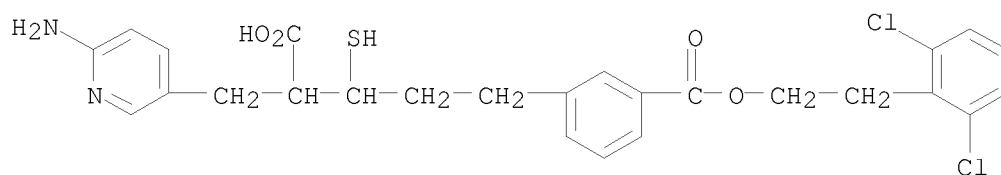


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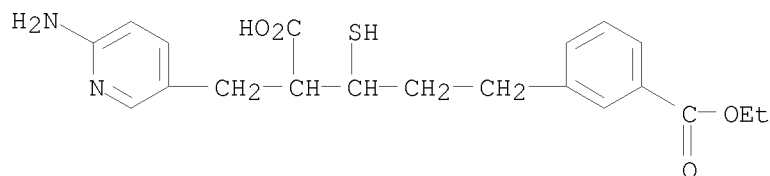
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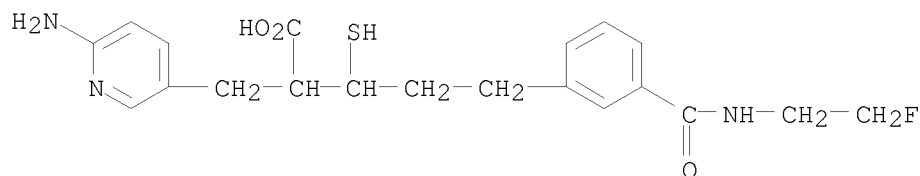
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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[[2-(2,6-dichlorophenyl)ethoxy]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-76-6 CAPLUS  
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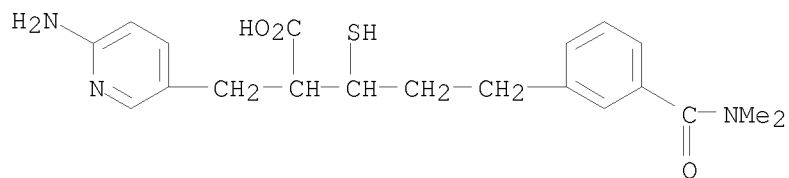


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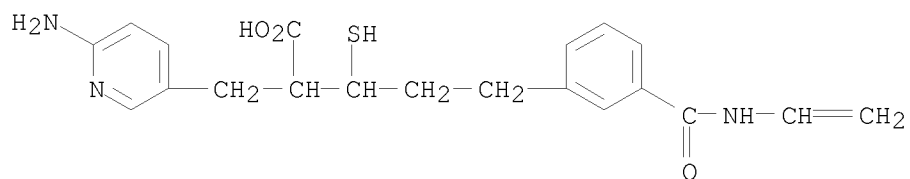


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10/923,271

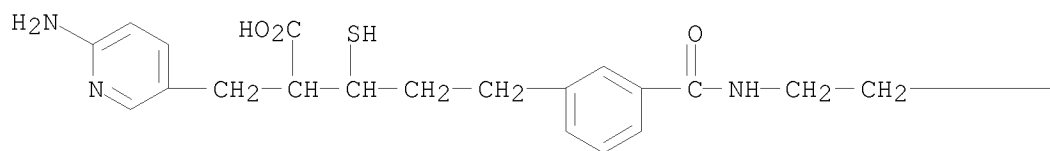


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[(ethenylamino)carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

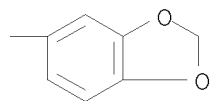


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CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

PAGE 1-A

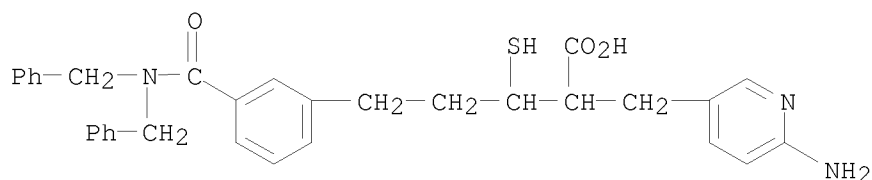


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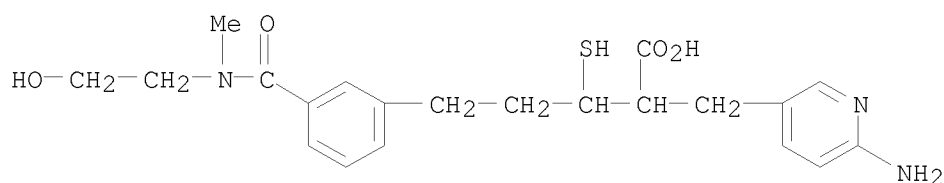
RN 637300-81-3 CAPLUS  
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-  
[[bis(phenylmethyl)amino]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

10/923,271



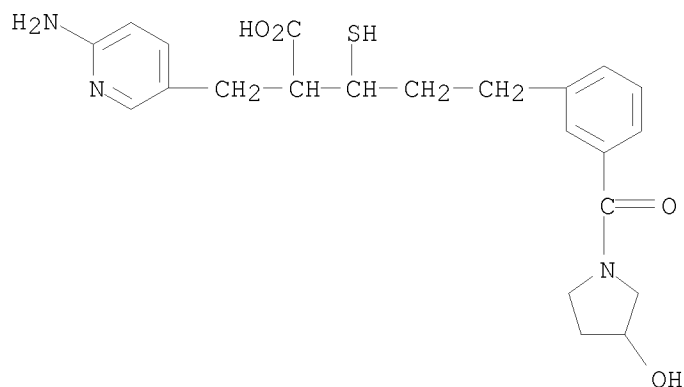
RN 637300-82-4 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[(2-hydroxyethyl)methylamino]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



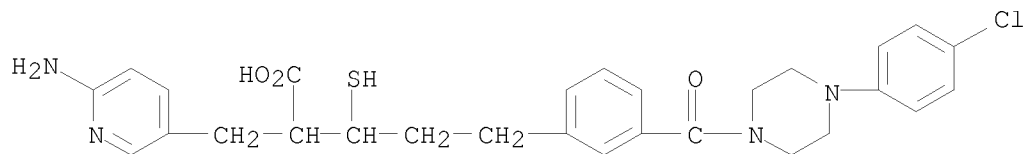
RN 637300-83-5 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[(3-hydroxy-1-pyrrolidinyl)carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-84-6 CAPLUS

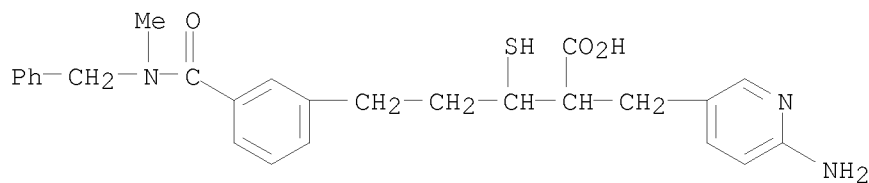
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[[4-(4-chlorophenyl)-1-piperazinyl]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



10/923,271

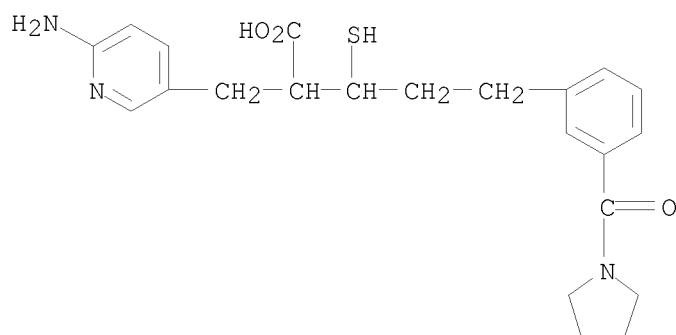
RN 637300-85-7 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-  
[[methyl(phenylmethyl)amino]carbonyl]phenyl]propyl]- (CA INDEX NAME)



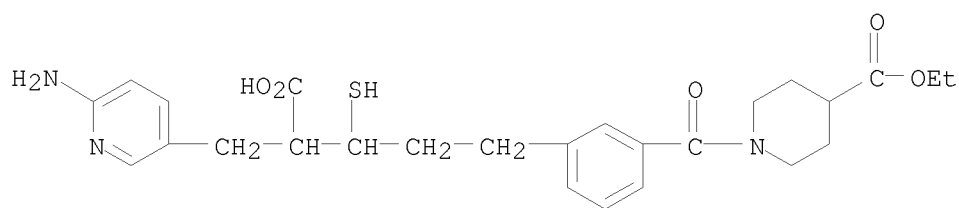
RN 637300-86-8 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-(1-  
pyrrolidinylcarbonyl)phenyl]propyl]- (CA INDEX NAME)



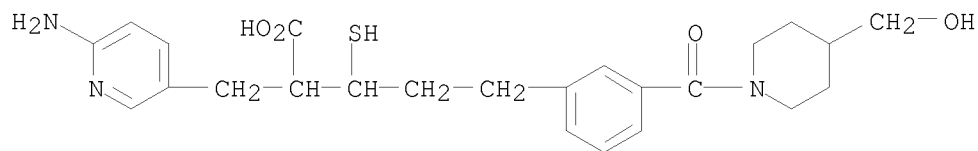
RN 637300-87-9 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[[4-(ethoxycarbonyl)-1-  
piperidinyl]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-88-0 CAPLUS

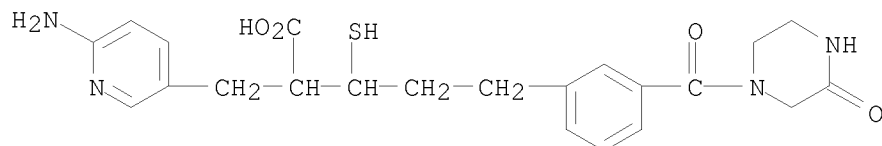
CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[[4-(hydroxymethyl)-1-  
piperidinyl]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



10/923,271

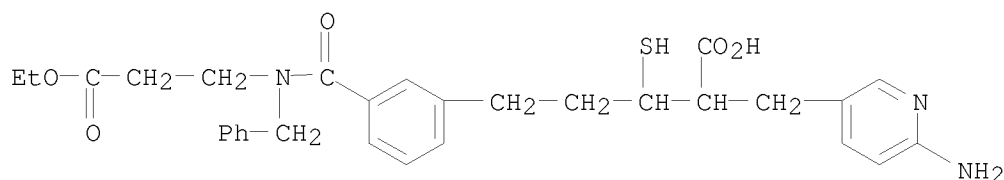
RN 637300-89-1 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[(3-oxo-1-piperazinyl)carbonyl]phenyl]propyl]- (CA INDEX NAME)



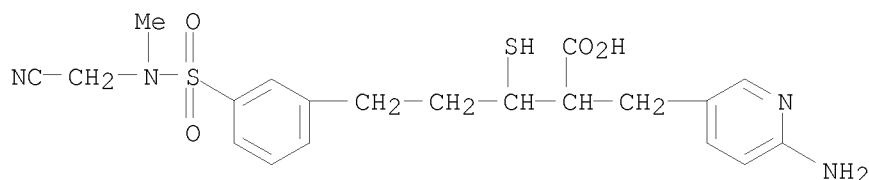
RN 637300-90-4 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[(3-ethoxy-3-oxopropyl)(phenylmethyl)amino]carbonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)



RN 637300-91-5 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[3-[3-[(cyanomethyl)methylamino]sulfonyl]phenyl]-1-mercaptopropyl]- (CA INDEX NAME)

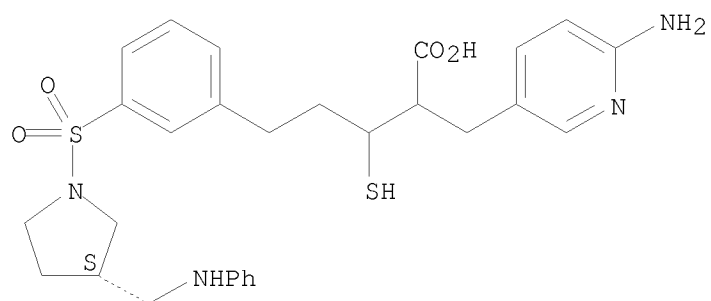


RN 637300-92-6 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[(3S)-3-[(phenylamino)methyl]-1-pyrrolidinyl]sulfonyl]phenyl]propyl]- (CA INDEX NAME)

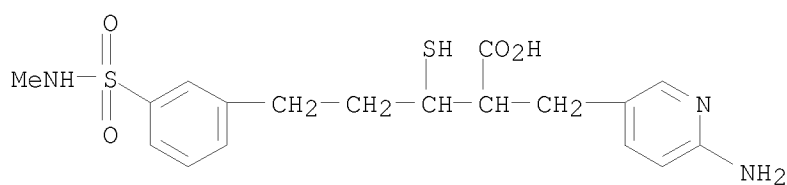
Absolute stereochemistry.

10/923,271



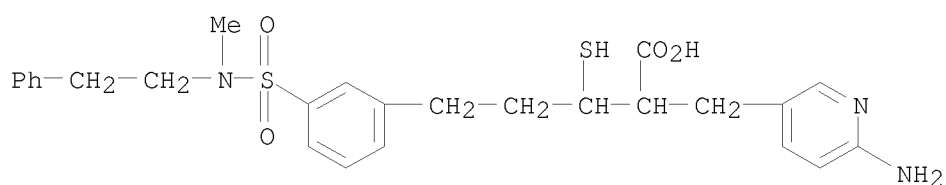
RN 637300-93-7 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[(methylamino)sulfonyl]phenyl]propyl]- (CA INDEX NAME)



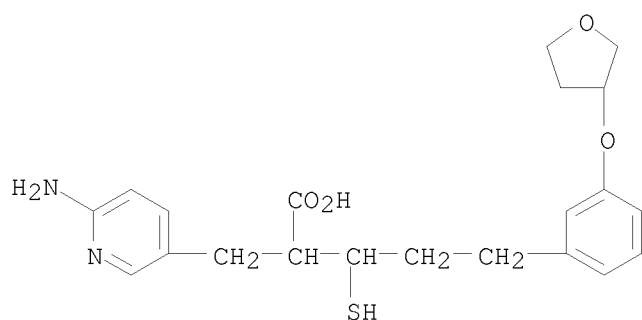
RN 637300-94-8 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[[methyl(2-phenylethyl)amino]sulfonyl]phenyl]propyl]- (CA INDEX NAME)



RN 637300-95-9 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[(tetrahydro-3-furanyl)oxy]phenyl]propyl]- (CA INDEX NAME)

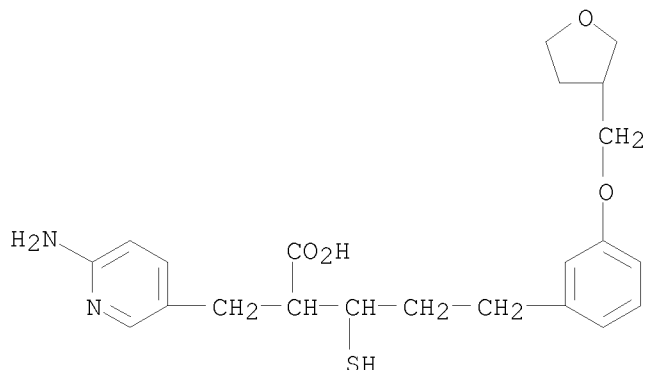




10/923,271

RN 637300-96-0 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -[1-mercapto-3-[3-[(tetrahydro-3-furanyl)methoxy]phenyl]propyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:790475 CAPLUS

DOCUMENT NUMBER: 133:349842

TITLE: Preparation of mercapto-substituted carboxylic acids as carboxypeptidase U inhibitors

INVENTOR(S): Linschoten, Marcel; Polla, Magnus; Svensson, Peder

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066557	A1	20001109	WO 2000-SE834	20000503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371213	A1	20001109	CA 2000-2371213	20000503
AU 2000047902	A	20001117	AU 2000-47902	20000503
AU 771760	B2	20040401		
BR 2000010257	A	20020213	BR 2000-10257	20000503
EP 1180098	A1	20020220	EP 2000-930001	20000503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103153	T2	20020422	TR 2001-3153	20000503

10/923,271

JP 2002543184	T	20021217	JP 2000-615388	20000503
HU 2002002876	A2	20030128	HU 2002-2876	20000503
HU 2002002876	A3	20050728		
EE 200100574	A	20030217	EE 2001-574	20000503
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IN 2001MN01293	A	20070706	IN 2001-MN1293	20011017
MX 2001011055	A	20020604	MX 2001-11055	20011030
ZA 2001008968	A	20030905	ZA 2001-8968	20011030
NO 2001005383	A	20011221	NO 2001-5383	20011102
NO 321377	B1	20060502		
KR 755152	B1	20070904	KR 2001-714011	20011102
IN 2005MN00676	A	20051007	IN 2005-MN676	20050627
PRIORITY APPLN. INFO.:			SE 1999-1573	A 19990503
			WO 2000-SE834	W 20000503
			IN 2001-MN1293	A3 20011017

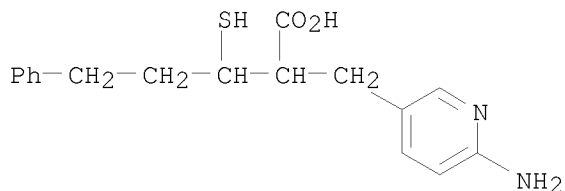
OTHER SOURCE(S): MARPAT 133:349842

AB The compds. R1XCR2R3YR4 [R1 = alkyl, cycloalkyl, heterocyclyl, etc.; R2 = H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, etc.; R3 = CO2R5, SO(OR5), etc.; R4 = SH, SCOalkyl, SCOaryl; X = O, S, SO, SO2, C(Z)2, N(Z), NR6SO2, SO2NR6, NR6CO, CONR6; Y = CZ2, H, alkyl, aryl, cycloalkyl heterocyclyl], which inhibit carboxypeptidase U (no data), were prepared E.g., 3-(2-aminothiazol-5-yl)-2-mercaptomethypropionic acid hydrochloride was prepared

IT 305328-80-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of mercapto-substituted carboxylic acids as carboxypeptidase U inhibitors)

RN 305328-80-7 CAPLUS

CN 3-Pyridinepropanoic acid, 6-amino- $\alpha$ -(1-mercapto-3-phenylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl